Docket No.: M1100.70002US00

AMENDMENTS TO THE CLAIMS

1. (Currently Amended) Compounds of general formula (I)

wherein

R is the following group of formula (II)

wherein

X is selected from the group consisting of O, S, CH₂, COO, CH₂CO, O(CH₂)₂O, O(CH₂)₃O and N; Z is selected from between N and CH₂N;

Y is selected from aliphatic groups, linear or branched, saturated or unsaturated, having from 1 to 10 carbon atoms, and phenyl, or Y forms with Z a saturated or unsaturated heterocycle, selected from the group consisting of: morpholine, piperidine, pyrimidine, piperazine, pyrrolidine, pyrroline, aniline, julolidine (2,3,6,7-tetrahydro-1H,5H-pirido[3,2,1-1]) quinoline, and substituted forms thereof:

R₄ and R₅, equal or different from each other, are selected from H and alkyl groups having from 1 to 3 carbon atoms, or they form with the Z group a saturated or unsaturated heterocycle, selected from the group consisting of: morpholine, piperidine, pyrimidine, piperazine, pyrrolidine, pyrroline, aniline, julolidine (2,3,6,7-tetrahydro-1H,5H-pirido[3,2,1-I/J] quinoline), and substituted forms thereof:

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 R_6 is selected from H and aliphatic groups, linear or branched, saturated or unsaturated, having from 1 to 5 carbon atoms, comprising a saturated heterocycle selected from the group consisting of: morpholine, piperidine, piperazine, pyrrolidine, and substituted forms thereof;

d, m, and n, equal of different from each other, are selected from 0 and 1;

v and s, equal or different from each other, are integers comprised between 1 and 3;

R₁ is selected from H and a group of formula (III)



wherein

G is selected from H and P-(CH2)1-(W)f-J, wherein

P is selected from the group consisting of O, CH2, CO2, NHCONH and CONH;

l is an integer comprised between 0 and 5;

W is selected from the group consisting of O, CO2, CONH and NHCONH;

f is selected from between 0 and 1;

J is H or an alkyl group (CH₂) q-CH₃, wherein q is an integer comprised between 0 and 20;

 R_2 and R_3 , equal or different from each other, are selected from between R and R_1 , wherein R and R_1 are defined as above,

M is chosen from 2H and a metal selected from the group consisting of Zn, Mg, Pt, Pd, Si(OR₇)₂, Ge(OR₇)₂ and AlOR₇, wherein R₇ is chosen from between H and C1-C15 alkyl, and pharmaceutically acceptable salts thereof,

with the exception of the following compounds:

a) compound of formula (I) wherein M is 2H, R_1 = R_3 = H, R = R_2 is a group of formula (II) in which s is 1, X is O, Y is (CH₂)₃, v is 1, Z is N, n = d = 1, m is 0, and R_4 = R_5 = H; and

b) compound of formula (I) wherein M is 2H, $R_1 = R_3 = H$, $R = R_2$ is a group of formula (II) in which s is 1, X is O, Y is $(CH_2)_3$, y is 1, Z is N, n = d = 1, m is 0, R_4 and R_5 form with Z a

phthalimido group, wherein the compounds are effective for the treatment of at least one of infectious diseases of viral, fungine and bacterial origin, diseases characterized by cellular hyperproliferation, and dermatological diseases upon irradiation with light of appropriate wavelength.

- (Currently Amended) Compounds of general formula (I) according to claim 1, in which the said group R comprises at least one substituent bearing tertiary or quaternary nitrogen.
 - (Cancelled)
- (Currently Amended) Compounds of general formula (I) according to claim 1, wherein the group

$$Y = \left(Z \frac{(R_4)_n}{(R_6)_m} \right)_V$$

is selected from the group consisting of:

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$$(H_{3}C)_{2}N \qquad (H_{3}C)_{3}N^{+} \Gamma$$

$$(H_{3}C)_{2}N \qquad (H_{3}C)_{3}N^{+} \Gamma$$

$$(H_{3}C)_{2}N \qquad (H_{3}C)_{3}N^{+} \Gamma$$

$$(H_{3}C)_{3}N^{+} \Gamma$$

- (Original) Compounds of general formula (I) according to claim 1, selected from the group consisting of:5,10,15-tris-[4-(2-N,N,N-trimethylammoniumethoxy)-phenyl]-20-[(4decyloxy)-phenyl] porphyrin triiodide,
- 5,10,15-tris-[4-(2-N,N,N-trimethylammoniumethoxy)-phenyl]-20-[(4-decyloxy)-phenyllporphyrinate zinc (II) triiodide.
- 5,10,15-tris-[4-(2-N,N-dimethylaminoethoxy)phenyl]-20-[(4-decyloxy)phenyl] porphyrin],

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- 5,10,15-tris-[4-(2-N,N-dimethylaminoethoxy)-phenyl]-20-[(4-decyloxy)phenyl] porphyrinate zinc (II),
- 5.10.15-tris-{[4-(N-methylpiperidin-4-yl)oxy|phenyl}-20-[(4-decyloxy)phenyl] porphyrin,
- 5,10,15-tris-{[4-(N,N-dimethylpiperidin-4-ium)oxy]phenyl}-20-[(4-decyloxy)phenyl] porphyrin triiodide.
- 5,10,15-tris-[3-(2-morpholin-4-ylethoxy)phenyl]-20-[(4-decyloxy)phenyl]porphyrin,
- 5,10,15-tris-{[3-(2-methylmorpholin-4-ium)ethoxy]phenyl}-20-[(4-decyloxy)phenyl] porphyrin triiodide.
- 5.10.15-tris-{4-[4-(N,N-dimethylamino)phenoxy]phenyl}-20-[(4-decyloxy)phenyl] porphyrin,
- 5,10,15-tris-{4-[4-(N,N,N-trimethylammonium)phenoxy]phenyl}-20-[(4-decyloxy)phenyl]
- 5,10,15-tris-{4-[3-(N,N-dimethylamino)phenyl]thiophenyl}-20-[(3-undecyloxy) phenyl] porphyrin,
- 5,10,15-tris-{4-[3-(N,N,N-trimethylammonium)phenyl]thiophenyl}-20-[(4-undecyloxy)phenyl]porphyrin triiodide.
- 5.10,15-tris-[3-(3-N,N-dimethylaminopropoxy)phenyl]-20-[(3-undecyloxy) phenyl] porphyrin,
- 5,10,15-tris-[3-(3-N,N,N-trimethylammoniumpropoxy)phenyl]-20-[(3-undecyloxy) phenyl] porphyrin triiodide.
- 5,10,15-tris-{4-[4-(N,N-dimethylamino)butoxy]phenyl]-20-[(4-undecyloxy) phenyl] porphyrin,
- 5,10,15-tris-{4-[4-(N,N,N-trimethylammonium)butoxy]phenyl}-20-[(4-undecyloxy) phenyl]porphyrin triiodide,
- 5-{4-{2,4,6-tris-[(dimethylamino)methyl]phenoxy}phenyl}-10,15,20-tris-[(4-decyloxy) phenyl] porphyrin.

phenyllporphyrin triiodide.

- Reply to Office Action of November 27, 2009

 5-{4-{2,4,6-tris-[(trimethylammonium)methyl]phenoxy}phenyl}-10,15,20-tris-[(4-decyloxy)
- 5-{3-[2-(dimethylamino)]-1-{[(dimethylamino)methyl]ethoxy}phenyl}-10,15,20-tris-[(3-decyloxy)phenyllporphyrin.
- 5-{3-[2-(trimethylammonium)]-1-{[(trimethylammonium)methyl]ethoxy} phenyl}-10,15,20-tris-[(3-decyloxy)phenyl]porphyrin diiodide,
- 5,10,15-tris-{4-[3-(diethylamino)propoxy]phenyl}-20-[(4-decyloxy)phenyl] porphyrin,
- 5,10,15-tris-{4-[3-(trimethylammonium)propoxy]phenyl}-20-[(4-decyloxy)phenyl] porphyrin triiodide,
- 5,10,15-tris-[4-(2-aminoethoxy)phenyl]-20-[(4-decyloxy)phenyl] porphyrin,
- 5,10,15-tris-{[4-(2-trimethylammonium)ethoxy]phenyl}-20-[(4-decyloxy) phenyl] porphyrin triiodide.
- 5,10,15-tris-{{[4-(N,N,N-trimethylammonium)phenoxy]carbonyl}phenyl}-20-[(4-decyloxy)phenyl]porphyrin triiodide,
- 5-{4-{{2-(trimethylammonium)-1-[(trimethylammonium)methyl]ethoxy} carbonyl}phenyl}-10,15,20-tris-[(3-decyloxy)phenyl]porphyrin diiodide,
- 5,15-bis-[3-(3-N,N,N-trimethylammoniumpropoxy)phenyl] porphyrin diiodide,
- 5,15-bis-[4-(2-piperidin-1-ylethoxy)phenyl]porphyrin,
- $5,15-bis-[4-(2-N-methylpiperidin-1-iumethoxy) phenyl] porphyrin\ diiodide,$
- 5,15-bis-[4-(3-N,N-dimethylaminopropoxy)phenyl]-10,20-bis-[(3-decyloxy)phenyl]porphyrin,
- 5,15-bis-[4-[3-N,N,N-trimethylammoniumpropoxy)phenyl]-10,20-bis-[(3-
- decyloxy)phenyl]porphyrin diiodide,
- 5,15-bis 4-{[2-(N,N-dimethylamino)ethylthio]phenyl}porphyrin,
- 5,15-bis-{4-[2-(N,N,N-trimethylammonium)ethylthio]phenyl} porphyrin diiodide,
- 5,15-bis-{4-{2-[3-(trimethylammonium)phenoxy]ethoxy}phenyl}porphyrin diiodide,
- 5,15-bis-{4-{2-[3-(N,N,N-trimethylammonium)phenyl]-2-oxoethyl}-10,20-bis-[(3-decyloxy)phenyl]porphyrin diiodide.
- 5,15-bis-[3-(3-N,N,N-trimethylammoniumpropoxy)phenyl]porphyrinate zinc(II) diiodide,
- 5,15-bis-[3-(3-N,N-dimethylaminopropoxy)phenyl]porphyrinate zinc(II),

- 5,15-bis-[4-(4-N,N,N-trimethylammoniumphenoxy)phenyl] porphyrin diiodide,
- 5,15-bis-[4-(4-aminophenoxy)phenyl]porphyrin,
- 5,15-bis-[3-(4-N,N-dimethylaminophenoxy)phenyl]porphyrin,
- 5,15-bis-[3-(4-N,N,N-trimethylammoniumphenoxy)phenyl]porphyrin diiiodide,
- 5,15-bis-[3-(4-N,N-dimethylaminophenyl)thiophenyl]porphyrin,
- 5.15-bis-[3-(4-N,N,N-trimethylammoniumthiophenoxy)phenyl]porphyrin diiiodide,
- 5.15-bis-4-[3-(N,N-dimethylaminophenoxy)phenyl]-10,20-bis-[(4-decyloxy) phenyl]porphyrin,
- 5,15-bis-4-[3-(N,N,N-trimethylammoniumphenoxy)phenyl]-10,20-bis-[(4-decyloxy) phenyl]porphyrin diiodide,
- 5,10,15-tris-{4-[4-(N,N-dimethylamino)butoxy]phenyl}-20-[(4-undecyloxy)phenyl] porphyrinate zinc/II).
- 5,10,15-tris-{4-[4-(N,N,N-trimethylammonium)butoxy]phenyl}-20-[(4-undecyloxy)phenyl]porphyrinate zinc(II) triiodide,
- 5.15-bis-[4-(2-piperidin-1-ylethoxy)phenyl]porphyrinate zinc(II), and
- 5,15-bis-[4-(2-N-methylpiperidin-1-iumethoxy)phenyl]porphyrinate zinc(II) diiodide.

6. (Cancelled)

- 7. (Currently Amended) \underline{A} [[P]]process for the preparation of compounds of formula (I) in which with $R = R_2 = R_3$ as defined in claim 1, selected from the group consisting of comprising:
- [[-]] pre-functionalization of pre-functionalizing suitable reagents with amino groups, followed by performing statistical synthesis of the porphyrin ring, possible modification of and modifying the amino groups in or ammonium groups, and possible complexation with the metal eation if the metal complex is required;
- -statistical synthesis with formation of the porphyrin ring followed by functionalization of the porphyrin with the present amino or ammonium groups, and possible complexation with the metal cation: and

-synthesis of the porphyrin ring through suitable dipyrromethane derivatives followed by functionalisation of the porphyrin with the present amino or ammonium groups, and possible complexation with the metal eation.

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8. (Currently Amended) \underline{A} [[P]]process for the preparation of compounds of formula (I) in which with $R = R_2$ and $R_1 = R_3$ as defined in claim 1, comprising:

the synthesis of synthesizing the porphyrin ring through using dipyrromethane, and followed by functionalisation of functionalizing the porphyrin with aliphatic or aromatic amino or ammonium groups-and

possible complexation with the metal cation if the metal complex is required.

- 9. (Cancelled)
- 10. (Currently Amended) Pharmaceutical compositions comprising as the active principle at least a compound of general formula (I) as defined in claim 1, or a conjugate as defined in claim 6, or mixtures thereof, in combination with pharmaceutically acceptable excipients and/or diluents.

11-18. (Canceled)

- 19. (Currently Amended) <u>A [[M]]method of treating infectious diseases of viral</u>, fungine and bacterial origin, diseases characterised by cellular hyperproliferation and dermatological diseases, comprising administering to a patient in need of such a treatment an effective amount of at least a compound of general formula (I) as defined in claim 1 or a conjugate thereof as defined in elaim 6, and irradiating the pathologically affected tissues with light of appropriate wavelength.
- 20. (Currently Amended) A [[M]]method according to claim 19, wherein the said affected tissues are irradiated by visible red light radiation when the treatment of deep seated tumours on infections is required, and by blue visible radiation or white light radiation when

treating psoriasis, actinic keratosis, basal cell carcinomas and other cancerous and pre-cancerous lesions of the skin and mucosas.

21-22. (Cancelled)

- 23. (Currently Amended) A [[M]]method of sterilizing wounds, comprising administering to a patient in need of such a treatment an effective amount of at least a compound of general formula (I) as defined in claim 1 or a conjugate thereof as defined in claim 6, and thereafter irradiating the patient with light of appropriate wavelength.
- (Currently Amended) A [[M]]method according to claim 19, wherein said diseases characterised by cellular hyperproliferation are selected from the group consisting of psoriasis, intimal hyperplasia, benign prostate hyperplasia and atheromas.
- 25. (Previously Presented) Compounds of general formula (I) according to claim 1, wherein R₆ is selected from aliphatic groups, linear or branched, saturated or unsaturated, having from 1 to 5 carbon atoms, substituted with alkylamine or alkylammonium groups having alkyl chains comprising from 1 to 5 carbon atoms.
 - 26. (Currently Amended) Compounds of general formula (I)

wherein

R is the following group of formula (II)

$$\left[\left(X - Y - \left(Z \frac{(R_4)_n}{(R_5)_d} \right)_V \right) \right]_{S_{\left(\overline{\Pi} \right)}}$$

wherein

X is selected from the group consisting of O, S, CH₂, COO, CH₂CO, O(CH₂)₂O, O(CH₂)₃O and N; Z is selected from between N and CH₂N;

Y is selected from aliphatic groups, linear or branched, saturated or unsaturated, having from 1 to 10 carbon atoms, and phenyl or Y forms with Z a pyridine or substituted pyridine heterocycle;

R₄ and R₅, equal or different from each other, are selected from H and alkyl groups having from 1 to 3 carbon atoms, or they form with the Z group a pyridine or substituted pyridine heterocycle;

 R_6 is selected from H and aliphatic groups, linear or branched, saturated or unsaturated, having from 1 to 5 carbon atoms, or comprising a saturated heterocycle selected from the group consisting of: morpholine, piperidine, piperazine, pyrrolidine, and substituted forms thereof;

d, m, and n, equal of different from each other, are selected from 0 and 1;

v and s, equal or different from each other, are integers comprised between 1 and 3;

R1 is selected from H and a group of formula (III)



wherein

G is selected from H and P-(CH2)1-(W)f-J, wherein

P is selected from the group consisting of O, CH2, CO2, NHCONH and CONH;

l is an integer comprised between 0 and 5;

W is selected from the group consisting of O, CO2, CONH and NHCONH;

f is selected from between 0 and 1;

J is H or an alkyl group $(CH_2)_q$ - CH_3 , wherein q is an integer comprised between 0 and 20; R_2 and R_3 , equal or different from each other, are selected from between R and R_1 , wherein R and R_1 are defined as above.

M is chosen from 2H and a metal selected from the group consisting of Zn, Mg, Pt, Pd, Si $(OR_7)_2$, Ge $(OR_7)_2$ and AlOR7, wherein R7 is chosen from between H and C1-C15 alkyl, and pharmaceutically acceptable salts thereof,

with the exception of the following compounds:

- a) compound of formula (I) wherein M is 2H, $R_1 = R_3 = H$, $R = R_2$ is a group of formula (II) in which s is 1, X is O, Y is (CH₂)₃, v is 1, Z is N, n = d = 1, m is 0, and $R_4 = R_5 = H$; and b) compound of formula (I) wherein M is 2H, $R_1 = R_3 = H$, $R = R_2$ is a group of formula (II) in which s is 1, X is O, Y is (CH₂)₃, v is 1, Z is N, n = d = 1, m is 0, R_4 and R_5 form with Z a phthalimido group, wherein the compounds are effective for the treatment of at least one of: infectious diseases of viral, fungine and bacterial origin, diseases characterised by cellular hyperproliferation, and dermatological diseases upon irradiation with light of appropriate wavelength.
 - 27. (New) Compounds of general formula (I) according to claim 26, wherein the group

$$Y = \left(\frac{(R_4)_n}{(R_6)_m} \right)$$

is selected from the group consisting of:

28. (New) Compounds of general formula (I)

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wherein

R is the following group of formula (II)

$$\left(\begin{array}{c|c} X & Y & \left(\begin{array}{c} (R_4)_n \\ \hline \\ (R_6)_m \end{array}\right)_V \\ \end{array}\right)_{S_{\left(\prod\right)}}$$

wherein

X is selected from the group consisting of O, S, CH2, COO, CH2CO, O(CH2)2O, O(CH2)3O and N;

wherein the group

$$Y = \left(Z \underbrace{(R_4)_n}_{(R_6)_m}\right)_{V}$$

is selected from the group consisting of:

v and s, equal or different from each other, are integers comprised between 1 and 3;

R₁ is selected from H and a group of formula (III)



wherein

G is selected from H and P- (CH2)1- (W)f- J, wherein

P is selected from the group consisting of O, CH2, CO2, NHCONH and CONH;

l is an integer comprised between 0 and 5;

W is selected from the group consisting of O, CO2, CONH and NHCONH;

f is selected from between 0 and 1:

J is H or an alkyl group (CH₂) q-CH₃, wherein q is an integer comprised between 0 and 20;

 R_2 and R_3 , equal or different from each other, are selected from between R and R_1 , wherein R and R_1 are defined as above,

M is chosen from 2H and a metal selected from the group consisting of Zn, Mg, Pt, Pd, Si(OR₇)₂, Ge(OR₇)₂ and AlOR₇, wherein R₇ is chosen from between H and C1-C15 alkyl, and pharmaceutically acceptable salts thereof.

29. (New) A process for the preparation of compounds of formula (I) with $R = R_2 = R_3$ as defined in claim 7, wherein M is a metal cation, further comprising:

forming a complex with the metal cation.

30. (New) A process for the preparation of compounds of formula (I) with $R = R_2 = R_3$ as defined in claim 1, comprising:

forming the porphyrin ring by statistical synthesis and functionalizing the porphyrin with amino or ammonium groups.

31. (New) A process for the preparation of compounds of formula (I) with $R = R_2 = R_3$ as defined in claim 30, wherein M is a metal cation, further comprising:

forming a complex with the metal cation.

32. (New) A process for the preparation of compounds of formula (I) with $R = R_2 = R_3$ as defined in claim 1, comprising:

synthesizing the porphyrin ring using suitable dipyrromethane derivatives and functionalizing the porphyrin with amino or ammonium groups.

33. (New) A process for the preparation of compounds of formula (I) with $R = R_2 = R_3$ as defined in claim 32, wherein M is a metal cation, further comprising:

forming a complex with the metal cation.

34. (New) A process for the preparation of compounds of formula (I) with R = R₂ = R₃ as defined in claim 8, wherein M is a metal cation, further comprising: forming a complex with the metal cation.